substance identification.

effect date provision

=> s 140

L41

7 L40

=> d abs bib hitstr 1-7

L41 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

The melanocortin-1 receptor (MC-1R) is a G-protein-coupled receptor involved in inflammation and skin pigmentation. Compound 2 is the first highly potent and selective MC-1R small-mol. agonist reported. Compound 2 showed efficacy in an acute model of inflammation, which has demonstrated the role of MC-1R in modulation of inflammation.

2003:127461 CAPLUS AN

138:297097 DN

Discovery of Tyrosine-Based Potent and Selective Melanocortin-1 Receptor ŤΤ Small-Molecule Agonists with Anti-inflammatory Properties

Herpin, Timothy F.; Yu, Guixue; Carlson, Kenneth E.; Morton, George C.; AU Wu, Ximao; Kang, Liya; Tuerdi, Huji; Khanna, Ashish; Tokarski, John S.; Lawrence, R. Michael; Macor, John E.

Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, CS 08543, USA after the date

so Journal of Medicinal Chemistry (2003), 46/(7), 1123-1126 CODEN: JMCMAR; ISSN: 0022-2623

PΒ American Chemical Society

DTJournal

LA English

508181-57-5 TT.

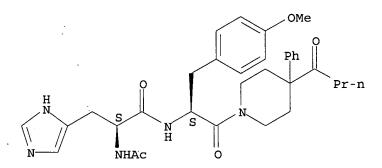
> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(tyrosine-based selective melanocortin-1 receptor agonists with anti-inflammatory properties)

508181-57-5 CAPLUS RN

1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-1-[(4-CN methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1piperidinyl]ethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 54 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN GΙ

Compds. W-(CR6R7)yCH(G)(CR4R5)xCO-X(R1)CHR2(CHR3)r(CH2)sCO-E [X = N or CH; AB R1, R3 = H or alkyl; R2 = H, aryl, cycloalkyl, heteroaryl, heterocyclyl, (un) substituted alkyl or alkenyl; R1 together with R2 or R3 or R2 together with R3 form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or heterocyclyl; E = (un)substituted pyrrolidino, piperidino, hexahydro-1-azepinyl, 1-piperazinyl, cyclopentyl, cyclohexyl, cycloheptyl, amino, (cyclo) alkylamino; R4-R6 = H, (un) substituted alkyl, amino, alkylamino, hydroxy, alkoxy, aryl, cycloalkyl, heteroaryl, or heterocyclyl; or CR4R5 or C6R7 is a spirocycloalkyl ring; r, s = 0 or 1; x = 0-4; y = 0-2; G = alkenyl, arylalkenyl, hydroxy, heteroaryl, cyano, functionalized alkyl or alkenyl, etc.; W = amino, alkylamino, hydroxy, alkoxy, carbamoyl, amidino, cycloalkyl, heteroaryl, heterocyclyl, etc.] were prepared as modulators of melanocortin receptors, particularly MC-1R and MC-4R. Thus, peptide I was prepared by a solution-phase peptide coupling/deprotection scheme.

- 2002:695975 CAPLUS AN
- DN137:232913
- TIPreparation of peptides for pharmaceutical use as modulators of melanocortin receptors
- Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton, IN phicalion George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.; Thibault, Carl
- Bristol-Myers Squibb Company, USA PΑ
- PCT Int. Appl., 107 pp. SO CODEN: PIXXD2
- DTPatent
- LΑ English
- FAN.CNT 3

	PATENT NO.					KIND DATE				APPLICATION NO.						DATE			
ΡI	WO 2002070511					A1		20020912		WO 2002-US6479				20020302					
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	
,			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	
			UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	
			ТJ,	TM															
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,	
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	ΝL,	PT,	SE,	TR,	
			BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NΕ,	SN,	TD,	TG	
	CA 2437594					AA		2002	0912							20020302			
	ΕP					A1		20031126		EP 2002-723310					20020302				
		R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE, SI, LT			LT,	LV,	FI,	FI, RO, MK,											
		JS 2003092732				-				US 2002-90582									
	US	S 2003096827				A1		2003	0522	US 2002-90288						20020304			

```
US 6713487
                          B2
                                20040330
    US 2004229882
                          A1
                                20041118
                                            US 2003-696761
                                                                    20031029
PRAI US 2001-273206P
                          Ρ
                                20010302
    US 2001-273291P
                          Ρ
                                20010302
    WO 2002-US6479
                          W
                                20020302
    US 2002-90288
                          A3
                                20020304
OS
    MARPAT 137:232913
     457893-85-5P 457902-24-8P 457902-27-1P
IT
     457902-28-2P 457902-29-3P 457902-30-6P
     457902-31-7P 457902-32-8P 457902-34-0P
     457902-35-1P 457902-36-2P 457902-37-3P
     457902-38-4P 457902-39-5P 457902-40-8P
     457902-41-9P 457902-42-0P 457902-43-1P
     457902-46-4P 457902-47-5P 457902-48-6P
     457902-49-7P 457902-51-1P 457902-52-2P
     457902-53-3P 457902-54-4P 457902-55-5P
     457902-56-6P 457902-57-7P 457902-58-8P
     457902-59-9P 457902-60-2P 457902-61-3P
     457902-62-4P 457902-63-5P 457903-23-0P
     457903-24-1P 457903-25-2P 457903-30-9P
     457903-31-0P 457903-32-1P 457903-33-2P
     457903-35-4P 457903-38-7P 457903-39-8P
     457903-46-7P 457903-51-4P 457903-53-6P
     457903-55-8P 457903-56-9P 457903-57-0P
     457903-58-1P 457903-59-2P 457903-61-6P
     457903-68-3P 457903-70-7P 457903-72-9P
     457903-76-3P 457903-81-0P 457903-82-1P
     457903-84-3P 457903-86-5P 457903-87-6P
     457903-88-7P 457903-89-8P 457903-91-2P
     457903-92-3P 457903-93-4P 457903-94-5P
     457903-95-6P 457903-96-7P 457903-97-8P
     457903-98-9P 457903-99-0P 457904-00-6P
     457904-01-7P 457904-03-9P 457904-07-3P
     457904-08-4P 457904-09-5P 457904-10-8P
     457904-11-9P 457904-12-0P 457904-13-1P
     457904-14-2P 457904-15-3P 457904-16-4P
     457904-17-5P 457904-18-6P 457904-19-7P
     457904-20-0P 457904-21-1P 457904-22-2P
     457904-23-3P 457904-24-4P 457904-25-5P
     457904-26-6P 457904-27-7P 457904-28-8P
     457904-32-4P 457904-33-5P 457904-34-6P
     457904-35-7P 457904-36-8P 457904-37-9P
     457904-38-0P 457904-39-1P 457904-40-4P
     457904-41-5P 457904-43-7P 457904-44-8P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of peptides for pharmaceutical use as modulators of
        melanocortin receptors)
RΝ
     457893-85-5 CAPLUS
     1H-Imidazole-4-propanamide, \alpha-(acetylamino)-N-[(1R)-1-[(4-
CN
     methoxyphenyl) methyl] -2-oxo-2-[4-(1-oxobutyl) -4-phenyl-1-
     piperidinyl]ethyl]-, (aS)- (9CI) (CA INDEX NAME)
```

RN 457902-24-8 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-27-1 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[3-methyl-1-[[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]carbonyl]butyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-28-2 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]carbonyl]pentyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457902-29-3 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]-1-(2-thienylmethyl)ethyl]-, ( $\alpha$ S)-

Absolute stereochemistry.

(CA INDEX NAME)

RN 457902-30-6 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[(4-nitrophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-31-7 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-(2-naphthalenylmethyl)-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME) Absolute stereochemistry.

RN 457902-32-8 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]carbonyl]-3-phenylpropyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-34-0 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-(1-naphthalenylmethyl)-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

```
RN 457902-35-1 CAPLUS 
CN 1H-Imidazole-4-propanamide, \alpha-(acetylamino)-N-[1-[(4-fluorophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, (\alpha S)- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

RN 457902-36-2 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[(4-iodophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-37-3 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-(benzo[b]thien-3-ylmethyl)-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

12/23/04

RN 457902-38-4 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-(cyclohexylmethyl)-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-39-5 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[(3,4-dichlorophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-40-8 CAPLUS

CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[1-([1,1'-biphenyl]-4-

ylmethyl) -2-oxo-2-[4-(1-oxobutyl) -4-phenyl-1-piperidinyl]ethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-41-9 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-(diphenylmethyl)-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-42-0 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[(4-benzoylphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-43-1 CAPLUS

12/23/04

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[(4-bromophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-46-4 CAPLUS

CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[1-[(3-chlorophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-47-5 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[(3,4-difluorophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457902-48-6 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[(2-fluorophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-49-7 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[(3-fluorophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

RN 457902-51-1 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[(3,5-difluorophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-52-2 CAPLUS

CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[1-[(2chlorophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, (αS)- (9CI) (CA INDEX NAME)

RN 457902-53-3 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]-1-[[4-(2-propenyloxy)phenyl]methyl]ethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-54-4 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]-1-(3-pyridinylmethyl)ethyl]-,  $(\alpha S)$ -(9CI) (CA INDEX NAME)

RN 457902-55-5 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]-1-(4-thiazolylmethyl)ethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-56-6 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]-1-(4-pyridinylmethyl)ethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-57-7 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[(3-cyanophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457902-58-8 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-methyl-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-59-9 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[(4-cyanophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-60-2 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[(4-methylphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-

,  $(\alpha S)$  - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-61-3 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]carbonyl]-4-phenyl-3-butenyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 457902-62-4 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[1-[(3,4-dimethoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457902-63-5 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[3-cyclohexyl-1-[[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]carbonyl]propyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-23-0 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -[[3-(2-chlorophenyl)-1-oxopropyl]amino]-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-24-1 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -[(cyclopentylacetyl)amino]-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

## 12/23/04

RN 457903-25-2 CAPLUS

CN 3-Pyridinepropanamide, N-[(1S)-1-(1H-imidazol-4-ylmethyl)-2-[[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-30-9 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]- $\alpha$ -[(1-oxobutyl)amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457903-31-0 CAPLUS

CN lH-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]- $\alpha$ -[(3-methyl-1-oxobutyl)amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-32-1 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -[[(2-methoxyphenyl)acetyl]amino]-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457903-33-2 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]- $\alpha$ -[(1-oxopentyl)amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-35-4 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]- $\alpha$ -[(phenylacetyl)amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457903-38-7 CAPLUS

CN Pentanoic acid, 5-[[(1S)-1-(1H-imidazol-4-ylmethyl)-2-[[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]amino]-2-oxoethyl]amino]-5-oxo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-39-8 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-α-[(1-oxo-3-phenyl-2-propenyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 457903-46-7 CAPLUS

CN Hexanoic acid, 6-[[(1S)-1-(1H-imidazol-4-ylmethyl)-2-[[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]amino]-2-oxoethyl]amino]-6-oxo-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-51-4 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -[(2,2-dimethyl-1-oxopropyl)amino]-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457903-53-6 CAPLUS

CN lH-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]- $\alpha$ -[(2-methyl-1-oxopropyl)amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-55-8 CAPLUS

CN lH-Imidazole-4-propanamide, N-[(lR)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]- $\alpha$ -[[3-(2-methoxyphenyl)-1-oxopropyl]amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457903-56-9 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]- $\alpha$ -[[3-(4-methoxyphenyl)-1-oxopropyl]amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-57-0 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]- $\alpha$ -[[3-(3-methoxyphenyl)-1-oxopropyl]amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457903-58-1 CAPLUS

CN 1H-Imidazole-4-propanamide, α-[[3-(4-chlorophenyl)-1-oxopropyl]amino]-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-59-2 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-α-[(1-oxo-4-phenylbutyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

RN 457903-61-6 CAPLUS . CN 1H-Imidazole-4-propanamide,  $\alpha$ -[(cyclohexylacetyl)amino]-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-68-3 CAPLUS CN 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]- $\alpha$ -[(1-oxopropyl)amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457903-70-7 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]- $\alpha$ -[[(phenylmethoxy)acetyl]amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-72-9 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -[(2-furanylacetyl)amino]-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457903-76-3 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]- $\alpha$ -[(phenoxyacetyl)amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-81-0 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-82-1 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-(4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]dec-8-yl)ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457903-84-3 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-(1-piperidinyl)ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-86-5 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-(4-hydroxy-4-phenyl-1-piperidinyl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-87-6 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-(4-phenyl-1-piperidinyl)ethyl]-, ( $\alpha$ S)-(9CI) (CA INDEX NAME)

RN 457903-88-7 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-(4-methyl-1-piperidinyl)-2-oxoethyl]-, ( $\alpha$ S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-89-8 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[1,4'-bipiperidin]-1'-yl-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-91-2 CAPLUS

## 12/23/04

## Absolute stereochemistry.

RN 457903-92-3 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-pyrrolidinyl)-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-93-4 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-94-5 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-[(acetylamino)methyl]-4-phenyl-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-95-6 CAPLUS
CN 4-Piperidinecarboxamide, 1-[(2S)-2-[[(2S)-2-(acetylamino)-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]-3-(4-methoxyphenyl)-1-oxopropyl]-4-(phenylamino)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-96-7 CAPLUS
CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-(3-methyl-3-phenyl-1-piperidinyl)-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-97-8 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-[4-(2-methoxyphenyl)-1-piperidinyl]-2-oxoethyl]-,

$$(\alpha S)$$
 -  $(9CI)$  (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-98-9 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-[5-(4-chlorophenyl)-1H-pyrazol-3-yl]-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457903-99-0 CAPLUS

CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-[4-[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]-1-piperidinyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

RN 457904-00-6 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-(3,4-dihydro-4-phenyl-2(1H)-isoquinolinyl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-01-7 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-(3,4-dihydro-2(1H)-isoquinolinyl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)-(9CI) (CA INDEX NAME)

## 12/23/04

RN 457904-03-9 CAPLUS

CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1S)-2-(3,4-dihydro-3-oxospiro[isoquinoline-1(2H),4'-piperidin]-1'-yl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-07-3 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-(2,3-dihydro-3-oxospiro[1H-isoindole-1,4'-piperidin]-1'-yl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457904-08-4 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-(2,3-dihydro-2-oxo-1H-indol-3-yl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-09-5 CAPLUS

CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1R)-1-[(4methoxyphenyl)methyl]-2-[4-(1-naphthalenyl)-1-piperidinyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

RN 457904-10-8 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-[(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)methyl]-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-11-9 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-(1,3-dihydro-1-oxo-2H-isoindol-2-yl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457904-12-0 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1,2,3,4-tetrahydro-7-methoxy-1-naphthalenyl)-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-13-1 CAPLUS

CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-spiro[1H-indene-1,4'-piperidin]-1'-ylethyl]-, (αS)- (9CI) (CA INDEX NAME)

RN 457904-14-2 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-hydroxy-4-(2-phenoxyphenyl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-15-3 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-(2,3-dihydro-6-methoxy-1H-inden-1-yl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

#### 12/23/04

RN 457904-16-4 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-(4-cyclohexyl-1-piperidinyl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-17-5 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-(2,3-dihydro-6-methoxy-3,3-dimethyl-1H-inden-1-yl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457904-18-6 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-[4-(1-methylethyl)-1-piperidinyl]-2-oxoethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-19-7 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(4-phenyl-2-oxazolyl)-1-piperidinyl]ethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

RN 457904-20-0 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-(1,1-dimethylethyl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-21-1 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-(3',4'-dihydro-1'-methyl-4'-oxospiro[piperidine-4,2'(1'H)-quinazolin]-1-yl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457904-22-2 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-(2,3-dihydro-1H-inden-1-yl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-23-3 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-[hydroxy(3-methoxyphenyl)methyl]-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-24-4 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-(1,3-benzodioxol-4-ylmethyl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457904-25-5 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-oxoethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-26-6 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-(1,2-benzisothiazol-3-ylamino)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457904-27-7 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-(4-thieno[3,2-c]pyridin-4-yl-1-piperidinyl)ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-28-8 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-[4-(3-methylthieno[3,2-c]pyridin-4-yl)-1-piperidinyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457904-32-4 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[3-(1H-indol-2-yl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-33-5 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-(4,4-diphenyl-1-piperidinyl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457904-34-6 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-(3-phenylspiro[1H-indene-1,4'-piperidin]-1'-yl)ethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-35-7 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-(2,3-dihydro-3-phenylspiro[1H-indene-1,4'-piperidin]-1'-yl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457904-36-8 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-[(1-oxopropyl)phenylamino]-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-37-9 CAPLUS

CN 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1S)-2-(3,4-dihydrospiro[2H-1-benzopyran-2,4'-piperidin]-1'-yl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

RN 457904-38-0 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-(1,4-cyclohexadien-1-ylmethyl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-39-1 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-hydroxy-4-(phenylmethyl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457904-40-4 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-(diphenylmethyl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

### Absolute stereochemistry.

RN 457904-41-5 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-(2,3-dihydro-2-oxo-1H-benzimidazol-5-yl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

# Absolute stereochemistry.

RN 457904-43-7 CAPLUS

CN · 1H-Imidazole-4-propanamide, α-(acetylamino)-N-[(1S)-2-(1,2-dihydro-6-methyl-2-oxospiro[4H-3,1-benzoxazine-4,4'-piperidin]-1'-yl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)

12/23/04

application opplication

application

RN 457904-44-8 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1S)-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

AB Co-administration of a melanocortin receptor agonist, particularly an MC-1R or MC-4R agonist, and a cAMP phosphodiesterase inhibitor is described for modulating levels of cyclic adenosine 3',5' monophosphate (cAMP) in a mammal. The inventive co-administration is useful in the treatment of diseases affected by activity of cAMP-PDE, including without limitation, inflammatory bowel disease, irritable bowel syndrome, rheumatoid arthritis, osteoarthritis, pancreatitis, psoriasis, migraine,

```
Alzheimer's Disease, Parkinson's disease, transplant rejection, asthma,
     acute respiratory distress syndrome, chronic obstructive pulmonary
     disease, stroke, and neurodegeneration of, and consequences of traumatic
     brain injury.
     2002:695727 CAPLUS
AN
DN
     137:226646
     Co-administration of melanocortin receptor agonist and phosphodiesterase
ΤI
     inhibitor for treatment of cyclic-AMP associated disorders
     Macor, John E.; Carlson, Kenneth E.
IN
     Bristol-Myers Squibb Company, USA
PΑ
     PCT Int. Appl., 91 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 3
     PATENT NO.
                        KIND
                                DATE
                                           APPLICATION NO.
                                                                   DATE
                                            ______
                         ----
PΙ
     WO 2002069905
                         A2
                                20020912
                                            WO 2002-US6805
                                                                   20020304
     WO 2002069905
                         ·A3
                                20031009
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
             GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
             GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2439691
                          AA
                                20020912
                                            CA 2002-2439691
                                                                   20020304
     US 2003069169
                                20030410
                                            US 2002-90258
                          A1
                                                                   20020304
     EP 1370211
                                            EP 2002-713772
                          A2
                                20031217
                                                                   20020304
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                          US 2003-696761
     US 2004229882
                                20041118
                                                                   20031029
                          A1
PRAI US 2001-273206P
                          Ρ
                                20010302
     US 2001-273291P
                          Ρ
                                20010302
     US 2001-289719P
                          P
                                20010509
     US 2002-90288
                          Α3
                                20020304
     WO 2002-US6805
                          W
                                20020304
os
     MARPAT 137:226646
IT
     457893-85-5P 457894-19-8P 457894-20-1P
     457894-23-4P 457894-25-6P 457894-29-0P
     457894-31-4P 457894-32-5P 457894-51-8P
     457894-52-9P 457894-53-0P 457894-56-3P
     457895-21-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (Co-administration of melanocortin receptor agonist and cAMP
        phosphodiesterase inhibitor for treatment of cAMP-associated disorders)
RN
     457893-85-5 CAPLUS
CN
     1H-Imidazole-4-propanamide, \alpha-(acetylamino)-N-[(1R)-1-[(4-
     methoxyphenyl) methyl] -2-oxo-2-[4-(1-oxobutyl) -4-phenyl-1-
     piperidinyl]ethyl]-, (αS)- (9CI) (CA INDEX NAME)
```

RN 457894-19-8 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1R)-3-methyl-1-[[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]carbonyl]butyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457894-20-1 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1R)-1-[(4-fluorophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457894-23-4 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1R)-1-[(4-benzoylphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457894-25-6 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1R)-1-[(3-fluorophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457894-29-0 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1R)-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]-1-(4-thiazolylmethyl)ethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

RN 457894-31-4 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1R)-1-[[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]carbonyl]-4-phenyl-3-butenyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 457894-32-5 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1R)-1-[(4-chlorophenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457894-51-8 CAPLUS CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-(4-methyl-4-phenyl-1-piperidinyl)-2-oxoethyl]-,  $(\alpha S)$  - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457894-52-9 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1R)-2-[4-(1,1-dimethylethyl)-1-piperidinyl]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457894-53-0 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1R)-2-(3',4'-dihydro-1'-methyl-4'-oxospiro[piperidine-4,2'(1'H)-quinazolin]-1-yl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

## 12/23/04

RN 457894-56-3 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1R)-2-(1,2-dihydro-6-methyl-2-oxospiro[4H-3,1-benzoxazine-4,4'-piperidin]-1'-yl)-1-[(4-methoxyphenyl)methyl]-2-oxoethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457895-21-5 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -(acetylamino)-N-[(1R)-1-methyl-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN  ${\tt GI}$ 

```
AB
     RCOCR1R1aNR2aCOCR4aR4bNR4cCOCR4aR4bNR4R5 [R = e.g., 1-azacycloalkyl group
     I; R1 = alkyl, (hetero)aryl(alkyl), etc.; R1a,R2a = H or alkyl; R3 =
     (cyclo) alkyl, (hetero) aryl(alkyl), etc.; R4,R4c,R5 = H or (un) substituted
     alkyl; NR4R5 = heterocyclyl; R4a,R4b = H, (heteroaryl)alkyl, Ph, etc.; X =
     H, cyano, acylamino(alkyl), etc.; Y = H, alkyl, aryl(alkyl), etc.; Z =
     bond, CH2, CH2CH2] were prepared as growth hormone release stimulants (no
     data). Thus, Boc-D-TrpOH was amidated by RH (R = piperidino group
     II) (preparation given) and the deprotected product condensed with BocSarSarOH
     (preparation given) to give, after deprotection, (R)-RCOCHR1NHCOCH2NMeCOCH2NHMe
     (R = II, R1 = 3-indolylmethyl).
AN
     1998:590736 CAPLUS
DN
     129:231016
     Preparation of tryptophan piperidides and analogs as growth hormone
TI
     release stimulants
     Chakravarty, Prasun K.; Chen, Meng H.; Nargund, Ravi; Patchett, Arthur A.;
IN
     Tata, James R.; Wu, Mu Tsu; Yang, Lihu
PΑ
     Merck and Co., Inc., USA
SO
     U.S., 57 pp.
     CODEN: USXXAM
חת
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                        KIND
                                DATE
                                           APPLICATION NO.
                                                                   DATE
                        _ _ _ _
                                -----
                                            -----
                                                                   ______
     US 5804578
PT
                         Α
                                19980908
                                           US 1997-828606
                                                                   19970331
PRAI US 1997-828606
                                19970331
    MARPAT 129:231016
OS
     197900-69-9P 197900-70-2P 197900-71-3P
IT
     197900-72-4P 197900-73-5P 197901-40-9P
     197901-41-0P 197901-42-1P 197901-43-2P
     197901-44-3P 197901-45-4P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of tryptophan piperidides and analogs as growth hormone release
        stimulants)
     197900-69-9 CAPLUS
RN
CN
    L-Histidinamide, L-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3-
     (phenylmethyl) -1-piperidinyl] -1-(1H-indol-3-ylmethyl) -2-oxoethyl] -,
     dihydrochloride (9CI) (CA INDEX NAME)
```

PAGE 2-A

●2 HCl

RN 197900-70-2 CAPLUS

CN L-Histidinamide, D-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3-(phenylmethyl)-1-piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

#### ●2 HCl

RN 197900-71-3 CAPLUS

CN L-Histidinamide, D-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3-(phenylmethyl)-1-piperidinyl]-1-(2-naphthalenylmethyl)-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### ●2 HCl

RN 197900-72-4 CAPLUS

CN L-Histidinamide, L-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3-(phenylmethyl)-1-piperidinyl]-1-(2-naphthalenylmethyl)-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

# 12/23/04

# ●2 HCl

RN 197900-73-5 CAPLUS

CN L-Histidinamide, L-alanyl-N-[(1R)-1-[[(3S)-3-(ethoxycarbonyl)-3-(phenylmethyl)-1-piperidinyl]carbonyl]-4-phenylbutyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

# ●2 HC1

RN 197901-40-9 CAPLUS

CN L-Histidinamide, L-alanyl-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

12/23/04

RN 197901-41-0 CAPLUS

CN L-Histidinamide, L-alanyl-N-[(1R)-2-[3-[(dimethylamino)carbonyl]-2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 197901-42-1 CAPLUS

CN L-Histidinamide, L-alanyl-N-[(1R)-1-(1H-indol-3-ylmethyl)-2-[3-(5-methyl-1,3,4-oxadiazol-2-yl)-4-phenyl-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 197901-43-2 CAPLUS

CN L-Histidinamide, L-alanyl-N-[(1R)-2-[3-[(ethylamino)carbonyl]-4-phenyl-1-piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 197901-44-3 CAPLUS

CN L-Histidinamide, L-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3-(phenylmethyl)-1-piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 197901-45-4 CAPLUS
CN L-Histidinamide, L-alanyl-N-[(1R)-2-[(3S)-3-[(ethylamino)carbonyl]-3(phenylmethyl)-1-piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

# RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

AB Bombesin receptor antagonists are possible therapeutic agents due to their ability to act as inhibitors of cellular proliferation. On the basis of our hypothesis on the mechanism of action of gastrin associating an activating enzyme system to the receptor and on the results reported in the literature, we have synthesized bombesin analogs which have been modified in the C-terminal Leu13-Leu14 amide part. We have shown that modification in the C-terminal part of the bombesin strongly affected the biol. activity in rat pancreatic acini. The most potent compound which is described here, H-D-Phe-Gln-Trp-Ala-Val-Gly-His-Leu-ψ(CH2)Leu-NH2, was able to recognize the bombesin receptor on rat pancreatic acini (Ki 4.3 nM) and antagonized the bombesin stimulated amylase secretion (Ki 7.7 nM).

AN 1997:752569 CAPLUS

DN 128:84030

TI Syntheses and biological activities of bombesin analogs modified in the C-terminal dipeptide part

AU Llinares, M.; Devin, C.; Azay, J.; Berge, G.; Fehrentz, J. A.; Martinez,

CS Laboratoire des Amino-acides, Peptides et Proteines (LAPP), CNRS - Faculte de Pharmacie, ESA 5075, Universites Montpellier I and II, Montpellier, 34060, Fr.

SO European Journal of Medicinal Chemistry (1997), 32(10), 767-780 CODEN: EJMCA5; ISSN: 0223-5234

PB Editions Scientifiques et Medicales Elsevier

DT Journal

LA English

IT 200949-12-8P 200949-13-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and receptor antagonistic activities of bombesin analogs modified in C-terminal dipeptide part)

RN 200949-12-8 CAPLUS

CN 3-Isoquinolinecarboxamide, D-phenylalanyl-L-glutaminyl-L-tryptophyl-L-

12/23/04

alanyl-L-valylglycyl-L-histidyl-L-leucyl-1,2,3,4-tetrahydro-, (3S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 200949-13-9 CAPLUS

CN 3-Isoquinolinecarboxamide, D-phenylalanyl-L-glutaminyl-L-tryptophyl-L-alanyl-L-valylglycyl-L-histidyl-L-leucyl-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

PAGE 1-B

IT 200949-75-3P 200949-78-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and receptor antagonistic activities of bombesin analogs modified in C-terminal dipeptide part)

RN 200949-75-3 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1,1-dimethylethoxy)carbonyl]-D-phenylalanyl-L-glutaminyl-L-tryptophyl-L-alanyl-L-valylglycyl-L-histidyl-L-leucyl-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 200949-78-6 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1,1-dimethylethoxy)carbonyl]-D-phenylalanyl-L-glutaminyl-L-tryptophyl-L-alanyl-L-valylglycyl-L-histidyl-L-leucyl-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

PAGE 1-B

RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN GI

Title compds. I [B = Q1, Q2; R1 = (un)substituted C1-10 alkyl, aryl, aryl-C1-6 alkyl, heteroaryl, heteroaryl-C1-6 alkyl, C3-6 cycloalkyl-C1-6 alkyl, etc; R1a = H, C1-4 alkyl; R2a = H, C1-6 alkyl; R3 = H, C1-10 alkyl, (un) substituted C3-7 cycloalkyl, (CH2)rR, R = Ph, thienyl, benzimidazolyl, quinolinyl, naphthyl, indolyl; R3a, R3b = independently H, Ph, OPh, halophenyl, C1-6 alkyl, halo, OR2, methylenedioxy S(O)mR2, CF3, OCF3, NO2, NR22, NR2COR2, CO2R2, CONR22, SO2NR22, NR2SO2-aryl, NR2SO2R2, R2 = H, CH2Ph, (un) substituted C1-6 alkyl, C3-6 cycloalkyl; R4, R5 = independently H, (un) substituted C1-6 alkyl or R4R5 form a heterocyclic ring; R4a, R4b = independently H, CF3, Ph, (un) substituted C1-6 alkyl, or may form ring with R4 or R4c; R4c = H, (un) substituted C1-6 alkyl; X, Y = H, variable N-substituted alkyl, etc; D = NR7, S(O)m, CO, CHR7; R7 = R2, OR2, COR2, (CH2)s-aryl, CO(CH2)s-aryl, SO2R2, SO2(CH2)s-aryl, CONR22, 0-2, ; r = 0-3; s = 0-4; p, q undefined] are prepared as compds. that promote the release of growth hormone in humans and animals. This property can be utilized to promote the growth of food animals to render the production of edible meat products more efficient, and in humans, to treat physiol. or medical conditions characterized by a deficiency in growth hormone secretion, such as short stature in growth hormone deficient children, and to treat medical conditions which are improved by the anabolic effects of growth hormone. Growth hormone releasing compns. containing such compds. as the active ingredient thereof are also disclosed. Thus, sequential peptide coupling of substituted piperidine II (preparation given) with Boc-D-Trp-OH and Boc-Sar-Sar-OH gave desired tripeptidyl piperidine III as its HCl salt.

III

AN 1997:679061 CAPLUS

N-N

ΙI

Ph

DN 127:331748

TI Preparation of peptidyl piperidines, pyrrolidines, and hexahydro-1H-azepines as growth hormone release promoters

```
Chakravarty, Prasun K.; Chen, Meng H.; Nargund, Ravi; Patchett, Arthur A.;
IN
     Tata, James R.; Wu, Mu Tsu; Yang, Lihu
PA
     Merck & Co., Inc., USA
SO
     PCT Int. Appl., 163 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                           APPLICATION NO.
                                                                   DATE
     ______
                         ----
                                -----
                                           -----
                                                                   _____
PΙ
                                19971009
                                           WO 1997-US5378
                                                                  19970331
     WO 9736873
                         A1
            AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU,
             IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX,
            NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN,
            YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
            GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
            ML, MR, NE, SN, TD, TG
                                           AU 1997-27222
                                                                   19970331
     AU 9727222
                         A1
                                19971022
PRAI US 1996-14797P
                         Ρ
                                19960403
     GB 1996-9664
                         Α
                                19960509
     WO 1997-US5378
                         W
                                19970331
os
    MARPAT 127:331748
IT
     197900-69-9P 197900-70-2P 197900-71-3P
     197900-72-4P 197900-73-5P 197901-40-9P
     197901-41-0P 197901-42-1P 197901-43-2P
     197901-44-3P 197901-45-4P 197901-46-5P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of peptidyl piperidines, pyrrolidines, and hexahydroazepines as
        growth hormone release promoters)
RN
     197900-69-9 CAPLUS
    L-Histidinamide, L-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3-
CN
     (phenylmethyl) -1-piperidinyl] -1-(1H-indol-3-ylmethyl) -2-oxoethyl] -,
     dihydrochloride (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

●2 HCl

RN 197900-70-2 CAPLUS

CN L-Histidinamide, D-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3-(phenylmethyl)-1-piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

●2 HCl

RN 197900-71-3 CAPLUS

CN L-Histidinamide, D-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3-(phenylmethyl)-1-piperidinyl]-1-(2-naphthalenylmethyl)-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

## •2 HCl

RN 197900-72-4 CAPLUS

CN L-Histidinamide, L-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3-(phenylmethyl)-1-piperidinyl]-1-(2-naphthalenylmethyl)-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## ●2 HCl

RN 197900-73-5 CAPLUS

CN L-Histidinamide, L-alanyl-N-[(1R)-1-[[(3S)-3-(ethoxycarbonyl)-3-(phenylmethyl)-1-piperidinyl]carbonyl]-4-phenylbutyl]-, dihydrochloride (9CI) (CA INDEX NAME)

## ●2 HCl

RN 197901-40-9 CAPLUS
CN L-Histidinamide, L-alanyl-N-[(1R)-2-[1,2-dihydro-1(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-1-(1H-indol-3ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 197901-41-0 CAPLUS
CN L-Histidinamide, L-alanyl-N-[(1R)-2-[3-[(dimethylamino)carbonyl]-2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 197901-42-1 CAPLUS

CN L-Histidinamide, L-alanyl-N-[(1R)-1-(1H-indol-3-ylmethyl)-2-[3-(5-methyl-1,3,4-oxadiazol-2-yl)-4-phenyl-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 197901-43-2 CAPLUS

CN L-Histidinamide, L-alanyl-N-[(1R)-2-[3-[(ethylamino)carbonyl]-4-phenyl-1-piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 197901-44-3 CAPLUS

CN L-Histidinamide, L-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3-(phenylmethyl)-1-piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 197901-45-4 CAPLUS

CN L-Histidinamide, L-alanyl-N-[(1R)-2-[(3S)-3-[(ethylamino)carbonyl]-3-(phenylmethyl)-1-piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 197901-46-5 CAPLUS

CN L-Histidinamide, L-alanyl-N-[(1R)-2-(1,1-dioxidospiro[benzo[b]thiophene-3(2H),4'-piperidin]-1'-yl)-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L41 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN

AB A-B-C-D-(E)p [p = 0, 1; A = H, R1(CH2)qXr(CH2)sCO; q = 0-5; r = 0,1; s = 0-5; R1 = H, imidazolyl, guanidino, piperazino, morpholino, piperidino, etc.; X = NH, CH2, CH:CH, phenylene, cyclohexylene, naphthylene, thienylene, (substituted) methylene, etc.; B = GtHu; u, t = 0, 1; G, H = D- or L-amino acids, including unnatural amino acids; C, D = defined D-amino acids; E = NHCHR10(CH2)vR9; v = 0-8; R9 = H, imidazolyl,

```
guanidino, piperazino, morpholino, piperidino, etc.; R10 = H, CO2H, CH2OH,
     etc.; amide bonds may be modified], were prepared Thus,
     H-Ala-Phe-D-2Nal-D-Phe-Lys-NH2 (2Nal = 2-naphthylalanyl) (prepared by solid
     phase synthesis) showed EC50 = 2 nM for stimulation of growth hormone
     secretion from rat pituitary cells.
     1995:951186 CAPLUS
ΔN
     124:9462
DN
     Preparation of peptides with growth hormone releasing properties.
ΤI
     Johansen, Nils Langeland; Lau, Jesper; Madsen, Kjeld; Lundt, Behrend
İΝ
     Friedrich; Thoegersen, Henning; Hansen, Birgit Sehested; Peschke, Bernd
PΑ
    Novo Nordisk A/S, Den.
     PCT Int. Appl., 60 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                                           APPLICATION NO.
                                DATE
                                                                  DATE
     PATENT NO.
                        KIND
                                           -----
                         ____
                               -----
PΙ
                         A1
                                19950629
                                          WO 1994-DK485
                                                                  19941222
     WO 9517423
        W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR,
            KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT,
            UA, US, UZ, VN
        RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
            MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
            TD, TG
     ZA 9410261
                          Α
                                19950623
                                            ZA 1994-10261
                                                                   19941222
                                            CA 1994-2179597
                                                                   19941222
     CA 2179597
                          AA
                                19950629
                                            AU 1995-12724
                                                                   19941222
     AU 9512724
                          Α1
                                19950710
     AU 689181
                          B2
                                19980326
                                            HU 1995-1947
    HU 73497
                         A2
                                19960828
                                                                   19941222
    EP 736039
                         A1
                                19961009
                                            EP 1995-903774
                                                                   19941222
    EP 736039
                         B1
                                20001025
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
                                                                   19941222
     CN 1138335
                         Α
                                19961218
                                           CN 1994-194590
     CN 1052731
                          В
                                20000524
     JP 09507217
                         T2
                                19970722
                                            JP 1995-517109
                                                                   19941222
     JP 3181918
                         B2
                                20010703
     BR 9408377
                         Α
                                19970819
                                           BR 1994-8377
                                                                   19941222
                                20000428
                                           RO 1996-1293
                                                                   19941222
     RO 115635
                         В1
                                20000629
                                            IL 1994-112112
                                                                   19941222
     IL 112112
                         A1
                                20001115
                                           AT 1995-903774
     AT 197158
                         E
                                                                   19941222
                                20010301
                                           ES 1995-903774
     ES 2153469
                         Т3
                                                                   19941222
     PT 736039
                         Т
                                20010430
                                            PT 1995-903774
                                                                   19941222
                                20010731
                                            PL 1994-315113
     PL 181280
                         В1
                                                                   19941222
                                            SK 1996-820
     SK 281963
                         В6
                                20010911
                                                                   19941222
                         В6
                                            CZ 1996-1834
     CZ 293113
                                20040218
                                                                   19941222
    TW 438811
                         В
                                20010607
                                            TW 1995-84100274
                                                                   19950113
                                            US 1995-448623
    US 5767085
                         Α
                                19980616
                                                                   19950606
     FI 9602584
                         Α
                                19960620
                                           FI 1996-2584
                                                                   19960620
                                           NO 1996-2665
    NO 9602665
                         Α
                                19960823
                                                                   19960621
     GR 3035150
                         Т3
                                20010430
                                           GR 2000-402838
PRAI DK 1993-1439
                         Α
                                19931223
    DK 1994-121
                         Α
                                19940128
     DK 1994-1191
                         Α
                                19941014
     WO 1994-DK485
                         W
                                19941222
OS
     MARPAT 124:9462
     170851-25-9P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
```

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

CM 1

CRN 170851-24-8 CMF C38 H47 N9 O5

# Absolute stereochemistry.

$$\begin{array}{c|c}
 & \text{Me} & \text{NH}_2 \\
 & \text{H}_2 \\
 & \text{NH}_2 \\
 & \text{H}_2 \\$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

=> file registry COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	34.20	1934.71
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.90	-27.30

FILE 'REGISTRY' ENTERED AT 14:08:28 ON 23 DEC 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 DEC 2004 HIGHEST RN 800413-66-5 DICTIONARY FILE UPDATES: 21 DEC 2004 HIGHEST RN 800413-66-5

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Stnexp4 corrupted\QUERIES\10696761.str

L42 STRUCTURE UPLOADED

=> d 142 L42 HAS NO ANSWERS L42 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s 142 SAMPLE SEARCH INITIATED 14:08:50 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE

100.0% PROCESSED 8 ITERATIONS 0 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 8 TO 329
PROJECTED ANSWERS: 0 TO 0

L43 0 SEA SSS SAM L42

=> s 142 ful FULL SEARCH INITIATED 14:08:55 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 111 TO ITERATE

100.0% PROCESSED 111 ITERATIONS 6 ANSWERS SEARCH TIME: 00.00.01

L44 6 SEA SSS FUL L42

=> file caplus COST IN U.S. DOLLARS SINCE FILE TOTAL SESSION ENTRY FULL ESTIMATED COST 2090.13 155.42 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -27.30

FILE 'CAPLUS' ENTERED AT 14:08:59 ON 23 DEC 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 23 Dec 2004 VOL 141 ISS 26 FILE LAST UPDATED: 22 Dec 2004 (20041222/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 144 L45 3 L44

=> d abs bib hitstr 1-3

L45 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN GI

AB Compds. W-(CR6R7)yCH(G)(CR4R5)xCO-X(R1)CHR2(CHR3)r(CH2)sCO-E [X = N or CH; R1, R3 = H or alkyl; R2 = H, aryl, cycloalkyl, heteroaryl, heterocyclyl, (un)substituted alkyl or alkenyl; R1 together with R2 or R3 or R2 together with R3 form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or heterocyclyl; E = (un)substituted pyrrolidino, piperidino, hexahydro-1-azepinyl, 1-piperazinyl, cyclopentyl, cyclohexyl, cycloheptyl, amino, (cyclo)alkylamino; R4-R6 = H, (un)substituted alkyl, amino,

AN

DN

ΤI

IN

PΑ

SO

DT

LA

PΙ

OS

IT

alkylamino, hydroxy, alkoxy, aryl, cycloalkyl, heteroaryl, or heterocyclyl; or CR4R5 or C6R7 is a spirocycloalkyl ring; r, s = 0 or 1; x = 0-4; y = 0-2; G = alkenyl, arylalkenyl, hydroxy, heteroaryl, cyano, functionalized alkyl or alkenyl, etc.; W = amino, alkylamino, hydroxy, alkoxy, carbamoyl, amidino, cycloalkyl, heteroaryl, heterocyclyl, etc.] were prepared as modulators of melanocortin receptors, particularly MC-1R and MC-4R. Thus, peptide I was prepared by a solution-phase peptide coupling/deprotection scheme. 2002:695975 CAPLUS 137:232913 Preparation of peptides for pharmaceutical use as modulators of melanocortin receptors Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton, George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.; Thibault, Carl Bristol-Myers Squibb Company, USA PCT Int. Appl., 107 pp. CODEN: PIXXD2 Patent English FAN.CNT 3 APPLICATION NO. PATENT NO. DATE KIND DATE \_\_\_\_\_\_ -----\_\_\_\_ 20020912 WO 2002-US6479 20020302 WO 2002070511 **A1** W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20020302 CA 2437594 20020912 CA 2002-2437594 AΑ EP 1363898 20031126 EP 2002-723310 20020302 **A**1 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2002-90582 20020304 US 2003092732 Α1 20030515 US 2002-90288 20020304 US 2003096827 Α1 20030522 US 6713487 B2 20040330 US 2004229882 A1 20041118 2003-696761 appli alva PRAI US 2001-273206P Р 20010302 US 2001-273291P P 20010302 WO 2002-US6479 W 20020302 US 2002-90288 **A3** 20020304 MARPAT 137:232913 457894-34-7P 457894-35-8P 457894-36-9P 457894-37-0P 457894-38-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides for pharmaceutical use as modulators of melanocortin receptors)

RN457894-34-7 CAPLUS

1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-CN (1-oxobutyl)-4-phenyl-1-piperidinyl ethyl $]-\alpha-[(\text{methylsulfonyl})$  amino]-,  $(\alpha S)$  - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457894-35-8 CAPLUS

CN 1H-Imidazole-4-propanamide,  $\alpha$ -[(ethylsulfonyl)amino]-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457894-36-9 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]- $\alpha$ -[(propylsulfonyl)amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457894-37-0 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-α-[(phenylsulfonyl)amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457894-38-1 CAPLUS

Absolute stereochemistry.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

Co-administration of a melanocortin receptor agonist, particularly an MC-1R or MC-4R agonist, and a cAMP phosphodiesterase inhibitor is described for modulating levels of cyclic adenosine 3',5' monophosphate (cAMP) in a mammal. The inventive co-administration is useful in the treatment of diseases affected by activity of cAMP-PDE, including without limitation, inflammatory bowel disease, irritable bowel syndrome, rheumatoid arthritis, osteoarthritis, pancreatitis, psoriasis, migraine, Alzheimer's Disease, Parkinson's disease, transplant rejection, asthma, acute respiratory distress syndrome, chronic obstructive pulmonary disease, stroke, and neurodegeneration of, and consequences of traumatic brain injury.

```
AN
     2002:695727 CAPLUS
     137:226646
DN
     Co-administration of melanocortin receptor agonist and phosphodiesterase
TΤ
     inhibitor for treatment of cyclic-AMP associated disorders
IN
     Macor, John E.; Carlson, Kenneth E.
     Bristol-Myers Squibb Company, USA
PA
so
     PCT Int. Appl., 91 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 3
     PATENT NO.
                          KIND
                                 DATE
                                             APPLICATION NO.
                                                                       DATE
                          ----
                                              ______
                                              WO 2002-US6805
                                                                       20020304
PΙ
     WO 2002069905
                           A2
                                  20020912
     WO 2002069905
                          A3
                                  20031009
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
             GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,
             GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                              CA 2002-2439691
                                  20020912
                                                                       20020304
     CA 2439691
                           AA
                                              US 2002-90258
                                                                       20020304
     US 2003069169
                                  20030410
                           Α1
     EP 1370211
                                              EP 2002-713772
                                                                       20020304
                                  20031217
                           Α2
             AT, BE, CH, DE, DK, ES, FR, GB, GR, LY, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     US 2004229882
                                              US 2003-696761
                           Α1
                                  20041118
PRAI US 2001-273206P
                           Р
                                  20010302
     US 2001-273291P
                           Ρ
                                  20010302
     US 2001-289719P
                           Ρ
                                  20010509
     US 2002-90288
                                  20020304
                           Α3
     WO 2002-US6805
                                  20020304
                           W
     MARPAT 137:226646
OS
IT
     457894-34-7P 457894-35-8P 457894-36-9P
     457894-37-0P 457894-38-1P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
         (Co-administration of melanocortin receptor agonist and cAMP
        phosphodiesterase inhibitor for treatment of cAMP-associated disorders)
RN
     457894-34-7 CAPLUS
     1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-
CN
     (1-\text{oxobutyl})-4-\text{phenyl}-1-\text{piperidinyl} ethyl] -\alpha-[(\text{methylsulfonyl}) \text{ amino}]
     (\alpha S) - (9CI) (CA INDEX NAME)
```

RN 457894-35-8 CAPLUS

CN lH-Imidazole-4-propanamide,  $\alpha$ -[(ethylsulfonyl)amino]-N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457894-36-9 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]- $\alpha$ -[(propylsulfonyl)amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

RN 457894-37-0 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]- $\alpha$ -[(phenylsulfonyl)amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 457894-38-1 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-(1-oxobutyl)-4-phenyl-1-piperidinyl]ethyl]- $\alpha$ [[(phenylmethyl)sulfonyl]amino]-, ( $\alpha$ S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

AB Several new analogs of the known thrombin inhibitor NAPAP were synthesized, in which the P2 glycine residue was substituted by

synthesized, in which the P2 glycine residue was substituted by natural and unnatural amino acids. The thrombin inhibitory potency was comparable to that of NAPAP. Several of the compds. had inhibition consts. lower than 10nM and a very high selectivity compared to trypsin, factor  $\chi a$  and plasmin. In addition, analogs were prepared by alkylation of the N $\alpha$ -atom of the 4-amidinophenylalanine in P1 position, which showed a more than 10-fold lower thrombin inhibition. Furthermore, aza-glycine was introduced instead of P2 glycine. For most of the inhibitors similar fast elimination rates were seen in rats after i.v. dosing, as found previously for NAPAP. Only some compds., which contained a second basic group showed a slightly decreased cumulative biliary clearance.

AN 2001:629886 CAPLUS

DN 136:174

TI Structure-activity relationships of new NAPAP-analogs

AU Steinmetzer, Torsten; Schweinitz, Andrea; Kunzel, Sebastian; Wikstrom, Peter; Hauptmann, Jorg; Sturzebecher, Jorg

CS Inst. of Biochemistry & Biophysics, Friedrich Schiller University, Jena, D-07743, Germany

SO Journal of Enzyme Inhibition (2001), 16(3), 241-249 CODEN: ENINEG; ISSN: 8755-5093

PB Harwood Academic Publishers

DT Journal

LA English

IT 376390-23-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(structure-activity relationships of NAPAP-analogs as thrombin inhibitors)

RN 376390-23-7 CAPLUS

CN 1H-Imidazole-4-propanamide, N-[1-[[4-(aminoiminomethyl)phenyl]methyl]-2-oxo-2-(1-piperidinyl)ethyl]- $\alpha$ -[(2-naphthalenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file stnguide COST IN U.S. DOLLARS SINCE FILE TOTAL **ENTRY** SESSION FULL ESTIMATED COST 14.72 2104.85 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL **ENTRY** SESSION CA SUBSCRIBER PRICE -2.10 -29.40

FILE 'STNGUIDE' ENTERED AT 14:09:21 ON 23 DEC 2004
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE
AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Dec 17, 2004 (20041217/UP).

100.0% PROCESSED 3314 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L50 0 SEA SSS FUL L49

=> file uspatall

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 155.42 2416.53

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE
0.00 -29.40

FILE 'USPATFULL' ENTERED AT 14:15:21 ON 23 DEC 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 14:15:21 ON 23 DEC 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

=> s 145 L51 5 L45

=> d abs bib fhitstr 1-5

L51 ANSWER 1 OF 5 USPATFULL on STN

AB Compounds having the formula (I), ##STR1##

G is a novel side chain selected from C.sub.2-6alkenyl, A.sub.3-aryl, --OR.sub.18, heteroaryl, A.sub.1-cyano, A.sub.2--OR.sub.17, A.sub.1--C(.dbd.0)R.sub.18, A.sub.1--C0.sub.2R.sub.18, A.sub.1--C(.dbd.0)NR.sub.18R.sub.19, A.sub.1--OC(.dbd.0)R.sub.18, A.sub.1--NR.sub.18C(.dbd.0)R.sub.19, A.sub.1--OC(.dbd.O)NR.sub.18R.sub.19, A.sub.1--NR.sub.18CO.sub.2R.sub.19, A.sub.1--NR.sub.18SO.sub.2R.sub.17, A.sub.1--SO.sub.2R.sub.17, A.sub.1--NR.sub.20C(.dbd.0)NR.sub.18R.sub.19, and A.sub.1--SR.sub.18; or when y is 0 or when W is not NHR.sub.22, G may be A.sub.1-heterocyclo, wherein Al is a bond, C.sub.1-6alkylene or C.sub.2-alkenylene, A.sub.2 is C.sub.1-6alkylene or C.sub.2-6alkenylene, and A.sub.3 is C.sub.2-6alkenylene; W is selected from --NR.sub.21R.sub.22, --OR.sub.23, --NR.sub.21C(.dbd.O)R.sub.24, --NR.sub.21CO.sub.2R.sub.24, amidino, guanidino, or a heteroaryl, heterocyclo or C.sub.3-7cycloalkyl as defined in the specification, and X and R.sub.1 through R.sub.24 are as defined in the specification, are effective as modulators of melanocortin-receptors, particularly MC-1R and MC-4R.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2004:292793 USPATFULL

TI Compounds useful as modulators of melanocortin receptors and pharmaceutical compositions comprising same

Yu, Guixue, Lawrenceville, NJ, UNITED STATES
Macor, John, Guilford, CT, UNITED STATES
Herpin, Timothy, Princeton, NJ, UNITED STATES
Lawrence, R. Michael, Yardley, PA, UNITED STATES
Morton, George C., Collegeville, PA, UNITED STATES
Ruel, Rejean, Saint-Lambert, CANADA
Poindexter, Graham S., Old Saybrook, CT, UNITED STATES
Ruediger, Edward H., Greenfield Park, CANADA

Thibault, Carl, Mascouche, CANADA PΙ US 2004229882 **A**1 20041118 ΑI US 2003-696761 **A**1 20031029 (10) RLI Division of Ser. No. US 2002-90288, filed on 4 Mar 2002, GRANTED, Pat. No. US 6713487 PRAI US 2001-273206P 20010302 (60) US 2001-273291P 20010302 (60) DTUtility FS APPLICATION LREP STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000 CLMN Number of Claims: 23 Exemplary Claim: 1 ECL. DRWN No Drawings LN.CNT 2500 CAS INDEXING IS AVAILABLE FOR THIS PATENT. 457894-34-7P (Co-administration of melanocortin receptor agonist and cAMP phosphodiesterase inhibitor for treatment of cAMP-associated disorders) RN 457894-34-7 USPATFULL 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-CN (1-oxobutyl)-4-phenyl-1-piperidinyl] ethyl $]-\alpha-$ [(methylsulfonyl)amino]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

L51 ANSWER 2 OF 5 USPATFULL on STN
AB Compounds having the formula (I), ##STR1##

NR.sub.11R.sub.12; G is a novel side chain selected from C.sub.2-6alkenyl, A.sub.3-aryl, --OR.sub.18, heteroaryl, A.sub.1-cyano, A.sub.2--OR.sub.17, A.sub.1--C(.dbd.O)R.sub.18, A.sub.1--CO.sub.2R.sub.18, A.sub.1--C(.dbd.O)NR.sub.18R.sub.19, A.sub.1--OC(.dbd.O)R.sub.18, A.sub.1--NR.sub.18C(.dbd.O)R.sub.19, A.sub.1--OC(.dbd.O)NR.sub.18R.sub.19, A.sub.1--NR.sub.18CO.sub.2R.sub.19, A.sub.1--NR.sub.18CO.sub.2R.sub.17, A.sub.1--NR.sub.18SO.sub.2R.sub.17, A.sub.1--SO.sub.2R.sub.17, A.sub.1--NR.sub.2OC(.dbd.O)NR.sub.18R.sub.19, and A.sub.1--SR.sub.18; or when y is 0 or when W is not NHR.sub.22, G may be A.sub.1-heterocyclo, wherein A.sub.1 is a bond, C.sub.1-6alkylene or C.sub.2-alkenylene, A.sub.2 is C.sub.1-6alkylene or C.sub.2-falkenylene, and A.sub.3 is C.sub.2-6alkenylene; W is selected from --NR.sub.21R.sub.22, --OR.sub.23, --NR.sub.21C(.dbd.O)R.sub.24, --NR.sub.21CO.sub.2R.sub.24, amidino, guanidino, or a heteroaryl,

heterocyclo or C.sub.3-7cycloalkyl as defined in the specification, and X and R.sub.1 through R.sub.24 are as defined in the specification, are effective as modulators of melanocortin-receptors, particularly MC-1R and MC-4R.

```
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       2003:140985 USPATFULL
AN
ΤI
       Compounds useful as modulators of melanocortin receptors and
       pharmaceutical compositions comprising same
       Yu, Guixue, Lawrenceville, NJ, UNITED STATES
IN
       Macor, John, Guilford, CT, UNITED STATES
       Herpin, Timothy, Princeton, NJ, UNITED STATES
       Lawrence, R. Michael, Yardley, PA, UNITED STATES
       Morton, George C., Collegeville, PA, UNITED STATES
       Ruel, Rejean, Saint-Lambert, CANADA
       Poindexter, Graham S., Old Saybrook, CT, UNITED STATES
       Ruediger, Edward H., Greenfield Park, CANADA
       Thibault, Carl, Mascouche, CANADA
PΙ
       US 2003096827
                                20030522
                           A1
       US 6713487
                                20040330
                           B2
ΑI
       US 2002-90288
                                20020304 (10)
                           Α1
       US 2001-273206P
                            20010302 (60)
PRAI
       US 2001-273291P
                            20010302 (60)
DT
       Utility
       APPLICATION
FS
       STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O
LREP
       BOX 4000, PRINCETON, NJ, 08543-4000
CLMN
       Number of Claims: 23
ECL
       Exemplary Claim: 1
       No Drawings
DRWN
LN.CNT 2509
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
    457894-34-7P
        (Co-administration of melanocortin receptor agonist and cAMP
        phosphodiesterase inhibitor for treatment of cAMP-associated disorders)
RN
     457894-34-7 USPATFULL
CN
     1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-
       (1-\text{oxobutyl})-4-\text{phenyl}-1-\text{piperidinyl}] ethyl]-\alpha-
       [(methylsulfonyl)amino]-, (\alpha S)- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

L51 ANSWER 3 OF 5 USPATFULL on STN

Compounds having the formula (I), and pharmaceutically-acceptable salts, AB hydrates and prodrugs thereof, ##STR1## in which E is X is N or CH, W is --NR.sub.16R.sub.17, --NR.sub.16C(.dbd.0)R.sub.22, --NR.sub.16CO.sub.2R.sub.22, --OR.sub.23, or a heteroaryl or heterocyclo group as defined in the specification, and R.sub.1 through R.sub.12, R.sub.16, R.sub.17, R.sub.22, R.sub.23, x, y, and z are as defined in the specification, are useful as modulaters of melanocortin receptors, particularly MC-1R and MC-4R. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 2003:134643 USPATFULL AN Compounds useful as modulators of melanocortin receptors and ΤI pharmaceutical compositions comprising same Yu, Guixue, Lawrenceville, NJ, UNITED STATES IN Macor, John, Guilford, CT, UNITED STATES Herpin, Timothy, Princeton, NJ, UNITED STATES Lawrence, R. Michael, Yardley, PA, UNITED STATES Morton, George C., Collegeville, PA, UNITED STATES Ruel, Rejean, Saint-Lambert, CANADA Poindexter, Graham S., Old Saybrook, CT, UNITED STATES Ruediger, Edward H., Greenfield Park, CANADA Thibault, Carl, Mascouche, CANADA PΙ US 2003092732 A1 20030515 ΑI US 2002-90582 20020304 (10) A1 PRAI US 2001-273206P 20010302 (60) US 2001-273291P 20010302 (60) DT Utility APPLICATION FS STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O LREP BOX 4000, PRINCETON, NJ, 08543-4000 Number of Claims: 22 CLMN ECL Exemplary Claim: 1 No Drawings DRWN LN.CNT 2878 CAS INDEXING IS AVAILABLE FOR THIS PATENT. 457894-34-7P (Co-administration of melanocortin receptor agonist and cAMP phosphodiesterase inhibitor for treatment of cAMP-associated disorders) ΡN 457894-34-7 USPATFULL CN1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4- $(1-\text{oxobutyl}) - 4-\text{phenyl} - 1-\text{piperidinyl} = \text{chyl} - \alpha$ 

[(methylsulfonyl)amino]-, (\alpha S)- (9CI) (CA INDEX NAME)

ANSWER 4 OF 5 USPATFULL on STN L51 AΒ

Co-administration of a melanocortin receptor agonist, particularly an MC-1R or MC-4R agonist, and a cAMP phosphodiesterase inhibitor is described for modulating levels of cyclic adenoise 3',5' monophosphate (cAMP) in a mammal. The inventive co-administration is useful in the treatment of diseases affected by activity of cAMP-PDE, including without limitation, inflammatory bowel disease, irritable bowel syndrome, rheumatoid arthritis, osteoarthritis, pancreatis, psoriasis, migraine, Alzheimer's Disease, Parkinson's disease, transplant rejection, asthma, acute respiratory distress syndrome, chronic obstructive pulmonary disease, stroke, and neurodegeneration of, and consequences of traumatic brain injury.

CAS INDEXING IS AVAILABLE FOR THIS PATENT. 2003:100059 USPATFULL ΆN Co-administration of melanocortin receptor agonist and phosphodiesterase тT inhibitor for treatment of cyclic-AMP associated disorders IN Macor, John E., Guilford, CT, UNITED STATES Carlson, Kenneth E., West Windsor, NJ, UNITED STATES PΙ US 2003069169 Α1 20030410 US 2002-90258 AΤ A1 20020304 (10) PRAI US 2001-273206P 20010302 (60) US 2001-273291P 20010302 (60) US 2001-289719P 20010509 (60) DT Utility FS APPLICATION STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT DEPARTMENT, P O ` LREP BOX 4000, PRINCETON, NJ, 08543-4000 CLMN Number of Claims: 13 ECL Exemplary Claim: 1 DRWN 1 Drawing Page(s) LN.CNT 2497 CAS INDEXING IS AVAILABLE FOR THIS PATENT. 457894-34-7P (Co-administration of melanocortin receptor agonist and cAMP phosphodiesterase inhibitor for treatment of cAMP-associated disorders) RN457894-34-7 USPATFULL 1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-CN (1-oxobutyl)-4-phenyl-1-piperidinyl] ethyl $]-\alpha-$ 

[(methylsulfonyl)amino]-,  $(\alpha S)$ - (9CI) (CA INDEX NAME)

L51 ANSWER 5 OF 5 USPAT2 on STN AB Compounds having the formula (I), ##STR1##

> --NR.sub.11R.sub.12; G is a novel side chain selected from C.sub.2-6alkenyl, A.sub.3-aryl, --OR.sub.18, heteroaryl, A.sub.1-cyano, A.sub.2--OR.sub.17, A.sub.1--C(.dbd.O)R.sub.18, A.sub.1--CO.sub.2R.sub.18, A.sub.1--C(.dbd.O)NR.sub.18R.sub.19, A.sub.1--OC(.dbd.O)R.sub.18, A.sub.1--NR.sub.18C(.dbd.O)R.sub.19, A.sub.1--OC(.dbd.O)NR.sub.18R.sub.19, A.sub.1--NR.sub.18CO.sub.2R.sub.19, A.sub.1--NR.sub.18SO.sub.2R.sub.17, A.sub.1--SO.sub.2R.sub.17, A.sub.1--NR.sub.20C(.dbd.0)NR.sub.18R.sub.19, and A.sub.1--SR.sub.18; or when y is 0 or when W is not NHR.sub.22, G may be A.sub.1-heterocyclo, wherein A.sub.1 is a bond, C.sub.1-6alkylene or C.sub.2-alkenylene, A.sub.2 is C.sub.1-6alkylene or C.sub.2-6alkenylene, and A.sub.3 is C.sub.2-6alkenylene; W is selected from --NR.sub.21R.sub.22, --OR.sub.23, --NR.sub.21C(.dbd.0)R.sub.24, --NR.sub.21CO.sub.2R.sub.24, amidino, guanidino, or a heteroaryl, heterocyclo or C.sub.3-7cycloalkyl as defined in the specification, and X and R.sub.1 through R.sub.24 are as defined in the specification, are effective as modulators of melanocortin-receptors, particularly MC-1R and MC-4R.

```
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
```

2003:140985 USPAT2 ΑN Compounds useful as modulators of melanocortin receptors and ΤI pharmaceutical compositions comprising same Yu, Guixue, Lawrenceville, NJ, United States IN Macor, John, Guilford, CT, United States Herpin, Timothy, Princeton, NJ, United States Lawrence, R. Michael, Yardley, PA, United States Morton, George C., Collegeville, PA, United States Ruel, Rejean, Saint-Lambert, CANADA Poindexter, Graham S., Old Saybrook, CT, United States Ruediger, Edward H., Greenfield Park, CANADA Thibault, Carl, Mascouche, CANADA PA Bristol-Myers Squibb Co., Princeton, NJ, United States (U.S. corporation) PΙ US 6713487 20040330 US 2002-90288 20020304 (10) ΑI US 2001-273206P 20010302 (60) PRAI 20010302 (60) US 2001-273291P DT Utility

GRANTED

FS

```
EXNAM
       Primary Examiner: Desai, Rita
       Winslow, Anastasia P., Rodney, Burton, Duncan, Laurelee A.
LREP
       Number of Claims: 15
CLMN
       Exemplary Claim: 1
ECL
DRWN
       0 Drawing Figure(s); 0 Drawing Page(s)
LN.CNT 2050
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 457894-34-7P
         (Co-administration of melanocortin receptor agonist and cAMP
        phosphodiesterase inhibitor for treatment of cAMP-associated disorders)
RN
     457894-34-7 USPAT2
     1H-Imidazole-4-propanamide, N-[(1R)-1-[(4-methoxyphenyl)methyl]-2-oxo-2-[4-
CN
        (1-\text{oxobutyl}) - 4-\text{phenyl} - 1-\text{piperidinyl} = \text{cthyl} - \alpha
        [(methylsulfonyl)amino]-, (\alpha S)- (9CI) (CA INDEX NAME)
```

#### Absolute stereochemistry.

=> s 141 L52 7 L41 => s 152 not 151 2 L52 NOT L51 L53

=> d abs bib hitstr 1-2

ANSWER 1 OF 2 USPATFULL on STN The present invention is directed to certain piperidines, pyrrolidines, ΔR and hexahydro-1H-azepines of the general structural formula: ##STR1## wherein B is selected from: ##STR2## and R.sup.1, R.sup.1a, R.sup.2a, R.sup.3a, R.sup.3b, R.sup.4, R.sup.4a, R.sup.4b, R.sup.4c, R.sup.5, D, X, Y, n, x and y are as defined herein. These compounds promote the release of growth hormone in humans and animals. This property can be utilized to promote the growth of food animals to render the production of edible meat products more efficient, and in humans, to treat physiological or medical conditions characterized by a deficiency in growth hormone secretion, such as short stature in growth hormone deficient children, and to treat medical conditions which are improved by the anabolic effects of growth hormone. Growth hormone releasing compositions containing such compounds as the active ingredient thereof are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

T.53

AN1998:108409 USPATFULL ΤI Piperidines, pyrrolidines and hexahydro-1H-azepines promote release of growth hormone Chakravarty, Prasun K., Edison, NJ, United States IN Chen, Meng H., Westfield, NJ, United States Nargund, Ravi, East Brunswick, NJ, United States Patchett, Arthur A., Westfield, NJ, United States Tata, James R., Westfield, NJ, United States Wu, Mu Tsu, Clark, NJ, United States Yang, Lihu, Edison, NJ, United States PA Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation) PΙ US 5804578 19980908 US 1997-828606 19970331 (8) ΑI Utility DΤ FS Granted Primary Examiner: Shah, Mukund J.; Assistant Examiner: Ngo, Tamthom T. EXNAM LREP Thies, J. Eric, Rose, David L. CLMN Number of Claims: 20 Exemplary Claim: 1 ECL No Drawings DRWN LN.CNT 2911. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 197900-69-9P 197900-70-2P 197900-71-3P 197900-72-4P 197900-73-5P 197901-40-9P 197901-41-0P 197901-42-1P 197901-43-2P 197901-44-3P 197901-45-4P (preparation of tryptophan piperidides and analogs as growth hormone release stimulants) RN 197900-69-9 USPATFULL CNL-Histidinamide, L-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3-(phenylmethyl) -1-piperidinyl] -1-(1H-indol-3-ylmethyl) -2-oxoethyl] -, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

●2 HCl

RN 197900-70-2 USPATFULL

CN L-Histidinamide, D-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3-(phenylmethyl)-1-piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

●2 HCl

RN 197900-71-3 USPATFULL

L-Histidinamide, D-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3-(phenylmethyl)-1-piperidinyl]-1-(2-naphthalenylmethyl)-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CN

## •2 HCl

RN 197900-72-4 USPATFULL
CN L-Histidinamide, L-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3-

(phenylmethyl)-1-piperidinyl]-1-(2-naphthalenylmethyl)-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## •2 HCl

RN 197900-73-5 USPATFULL

CN L-Histidinamide, L-alanyl-N-[(1R)-1-[[(3S)-3-(ethoxycarbonyl)-3-(phenylmethyl)-1-piperidinyl]carbonyl]-4-phenylbutyl]-, dihydrochloride (9CI) (CA INDEX NAME)

#### •2 HCl

RN 197901-40-9 USPATFULL

CN L-Histidinamide, L-alanyl-N-[(1R)-2-[1,2-dihydro-1-(methylsulfonyl)spiro[3H-indole-3,4'-piperidin]-1'-yl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 197901-41-0 USPATFULL CN L-Histidinamide, L-alar

L-Histidinamide, L-alanyl-N-[(1R)-2-[3-[(dimethylamino)carbonyl]-2,3-dihydrospiro[1H-indene-1,4'-piperidin]-1'-yl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

CN

RN 197901-42-1 USPATFULL

L-Histidinamide, L-alanyl-N-[(1R)-1-(1H-indol-3-ylmethyl)-2-[3-(5-methyl-1,3,4-oxadiazol-2-yl)-4-phenyl-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 197901-43-2 USPATFULL

CN L-Histidinamide, L-alanyl-N-[(1R)-2-[3-[(ethylamino)carbonyl]-4-phenyl-1-piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RN 197901-44-3 USPATFULL
CN L-Histidinamide, L-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3(phenylmethyl)-1-piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

IT 212773-89-2P

(preparation of tryptophan piperidides and analogs as growth hormone release stimulants)

RN 212773-89-2 USPATFULL

CN L-Histidinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-N-[(1R)-2-[(3S)-3-(ethoxycarbonyl)-3-(phenylmethyl)-1-piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L53 ANSWER 2 OF 2 USPATFULL on STN

AB Compounds of the formula A--B--C--D(--E).sub.p are used to stimulate the release of growth hormone from the pituitary.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 1998:69005 USPATFULL

TI Compounds with growth hormone releasing properties

```
Johansen, Nils Langeland, Copenhagen .O slashed., Denmark
IN
       Lau, Jesper, Farum, Denmark
       Madsen, Kjeld, V.ae butted.rl.o slashed.se, Denmark
       Lundt, Behrend Friedrich, Kokkedal, Denmark
       Th.o slashed.gersen, Henning, Farum, Denmark
       Hansen, Birgit Sehested, Stenl.o slashed.se, Denmark
       Peschke, Bernd, M.ang.l.o slashed.v, Denmark
       Novo Nordisk A/S, Bagsvaerd, Denmark (non-U.S. corporation)
PA
PI
       US 5767085
                               19980616
       WO 9517423 19950629
       US 1995-448623
                               19950606 (8)
ΑI
       WO 1994-DK485
                               19941222
                               19950606 PCT 371 date
                               19950606 PCT 102(e) date
PRAI
      DK 1993-1439
                           19931223
      DK 1994-121
                           19940128
      DK 1994-1191
                           19941014
DТ
      Utility
FS
      Granted
EXNAM Primary Examiner: Russel, Jeffrey E.
       Zelson, Steve T., Lambiris, Elias J.
LREP
      Number of Claims: 18
CLMN
ECL
      Exemplary Claim: 1
DRWN
      No Drawings
LN.CNT 1464
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
   170851-25-9P
        (preparation of peptides with growth hormone releasing properties)
ΡN
     170851-25-9 USPATFULL
CN
     L-Lysinamide, L-alanyl-L-histidyl-3-(2-naphthalenyl)-D-alanyl-D-1,2,3,4-
       tetrahydro-3-isoquinolinecarbonyl-, trifluoroacetate (9CI) (CA INDEX
      NAME)
     CM
          1
     CRN 170851-24-8
     CMF C38 H47 N9 O5
    CDES 5:L,L,D,D,L
```

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

=>